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Phosphonate-nucleotide ester derivatives.

Abstract:

Phosphonate-nucleotide ester derivatives of the present invention have excellent antiviral activity and activity. Further, it can be orally administered.

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(54) **Phosphonate-nucleotide ester derivatives.**

(57) **Phosphonate-nucleotide ester derivatives of the present invention have excellent antiviral activity and activity. Further, it can be orally administered.**

**EP 0 632 048 A1**

BACKGROUND OF THE INVENTION1. Field of the Invention

5 This invention relates to novel phosphonate-nucleotide ester derivatives or pharmaceutically acceptable salts thereof. More particularly, it relates to novel phosphonate-nucleotide ester derivatives or pharmaceutically acceptable salts thereof which can be orally administered as antiviral agents.

2. Background of the Invention

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Infectious viral diseases have been recognized as medically important problems. For treatment of such diseases, drugs having antiviral activity but no inhibitory activity on growth of normal cell lines have been developed. For example, 9-(2-phosphonylmethoxy)ethyladenine (PMEA), 9-(2-phosphonylmethoxy)ethyl-2,6-diaminopurine (PMDAP) etc. have been reported to be effective on herpes simplex viruses type-I and II (HSV-1 and HSV-2), human immunodeficiency virus (HIV), hepatitis B virus (Yokota et al., Antimicrob. Agents Chemother., 35, 394 (1991); Votruba et al., Mol. Pharmacol., 32, 524 (1987)).

15 The problems of these nucleotides and ionic organophosphate esters are their deficiency of oral absorptivity [see, De Clercq et al., Antimicrob. Agents Chemother., 33, 185 (1989)]. Therefore, these compounds should be parenterally administered, for example, by intravenous or intramuscular injection, to attain sufficient blood concentration to elicit their effect.

20 However, it is difficult to apply treatment utilizing parenteral administration unless the subject is in a hospital. Accordingly, it is not a preferred method to treat subjects suffering from atrocious diseases such as AIDS and HBV diseases. Accordingly, there required development of drugs which have antiviral activity and can be parenterally administered. Up to date, no drugs have been put into practical use.

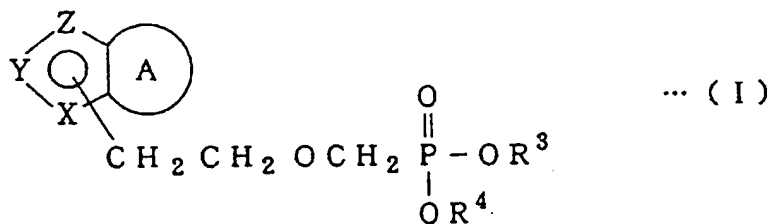
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SUMMARY OF THE INVENTION

The present inventors have studied intensively to solve the above problems. As the results, we have found that the object can be attained using a certain kind of phosphonatenucleotide esters, and have attained the present invention.

30 That is, the point of the present invention resides in phosphonatenucleotide ester derivatives of the following general formula (I):

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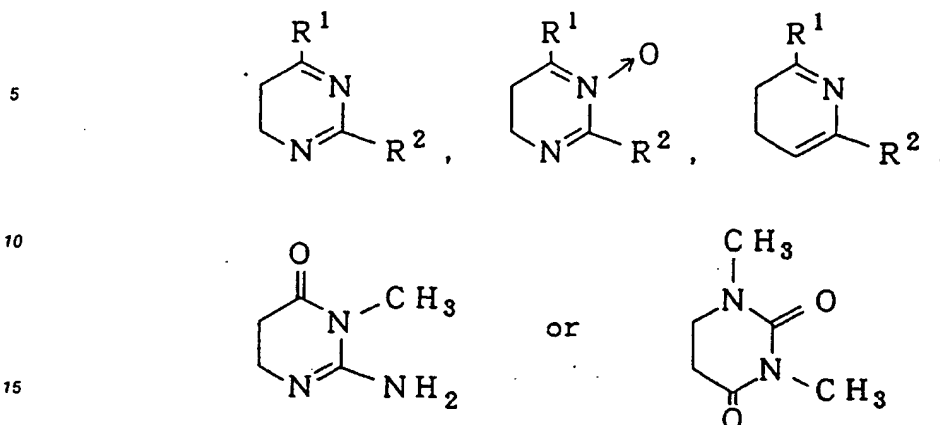
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(wherein ring A represents

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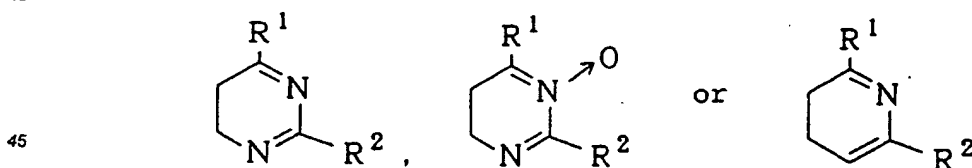
(wherein  $R^1$  and  $R^2$  independently represent hydrogen, halogen, hydroxyl, mercapto,  $C_6$ - $C_{10}$  arylthio or amino),  $R^3$  represents  $C_1$ - $C_4$  alkyl or ethyl having one or more substituents selected from the group consisting of fluorine,  $C_1$ - $C_4$  alkoxy, phenoxy,  $C_7$ - $C_{10}$  phenylalkoxy and  $C_2$ - $C_5$  acyloxy;  $R^4$  represents ethyl having one or more substituents selected from the group consisting of fluorine,  $C_1$ - $C_4$  alkoxy, phenoxy,  $C_7$ - $C_{10}$  phenylalkoxy and  $C_2$ - $C_5$  acyloxy; X, Y and Z independently represent methyne or nitrogen atom); or pharmaceutically acceptable salts thereof.

#### DETAILED DESCRIPTION OF THE INVENTION

The present invention will be explained in detail.

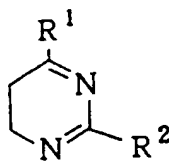
Phosphonate-nucleotide ester derivatives of the present invention are represented by the above general formula (I). In the above general formula (I), halogen atoms in  $R^1$  and  $R^2$  include, for example, fluorine, chlorine, bromine, iodine;  $C_6$ - $C_{10}$  arylthio includes, for example, phenylthio, tolylthio, naphthylthio.  $C_1$ - $C_4$  alkyl in  $R^3$  includes, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, sec-butyl, tert-butyl.  $C_1$ - $C_4$  alkoxy as a substituent on ethyl in  $R^3$  includes, for example, methoxy, ethoxy, n-propoxy, i-propoxy, butoxy.  $C_7$ - $C_{10}$  phenylalkoxy includes, for example, phenyl- $C_1$ - $C_4$  alkoxy such as benzyloxy, phenethyloxy, phenylpropoxy.  $C_2$ - $C_5$  acyloxy includes, for example, acetoxy, propionyloxy, butyryloxy, i-butyryloxy, valeryloxy.  $C_1$ - $C_4$  alkoxy,  $C_7$ - $C_{10}$  phenylalkoxy and  $C_2$ - $C_5$  acyloxy as substituents on ethyl in  $R^4$  include those on ethyl in  $R^3$ .

A preferred ring A in the above general formula (I) includes:

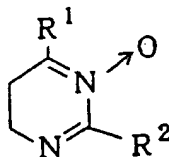


(wherein  $R^1$  and  $R^2$  independently represent hydrogen, halogen, hydroxyl, mercapto,  $C_6$ - $C_{10}$  arylthio or amino).

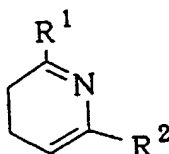
A particularly preferred A is



10 (wherein R<sup>1</sup> represents hydrogen, chlorine, hydroxyl, mercapto, tolylthio or amino; R<sup>2</sup> represents hydrogen, chlorine, iodine, hydroxyl or amino);



20 (wherein R<sup>1</sup> represents amino; R<sup>2</sup> represents hydrogen); or



30 (wherein R<sup>1</sup> and R<sup>2</sup> represent amino).

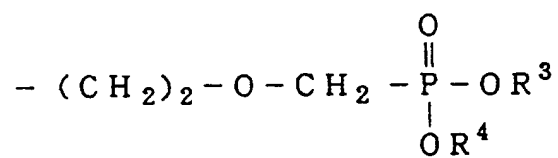
R<sup>3</sup> is preferably C<sub>1</sub>-C<sub>3</sub> alkyl, 2,2,2-trifluoroethyl or an ethyl group having a substituent selected from a group consisting of C<sub>1</sub>-C<sub>3</sub> alkoxy, phenoxy, C<sub>7</sub>-C<sub>10</sub> phenylalkoxy and C<sub>2</sub>-C<sub>5</sub> acyloxy. Particularly, C<sub>1</sub>-C<sub>3</sub> alkyl or 2,2,2-trifluoroethyl is preferred.

35 R<sup>4</sup> is preferably 2,2,2-trifluoroethyl or an ethyl group having a substituent selected from a group consisting of C<sub>1</sub>-C<sub>3</sub> alkoxy, phenoxy, C<sub>7</sub>-C<sub>10</sub> phenylalkoxy and C<sub>2</sub>-C<sub>5</sub> acyloxy. Particularly, 2,2,2-trifluoroethyl is preferred. When R<sup>3</sup> or R<sup>4</sup> represents a substituted ethyl group, such an ethyl group is preferably substituted at 2-position. Further, at least one of R<sup>3</sup> and R<sup>4</sup> is preferably 2,2,2-trifluoroethyl. X and Z are preferably nitrogen atoms.

40 Phosphonate-nucleotide ester derivatives of the present invention represented by the above general formula (I) can form pharmaceutically acceptable salts thereof. Examples of such salt include, for example, in the presence of acidic groups, metal salt such as lithium, sodium, potassium, magnesium, calcium salt, ammonium salt such as methylammonium, dimethylammonium, trimethylammonium, dicyclohexylammonium; in the presence of basic groups, mineral salts such as hydrochloride, hydrobromide, sulfate, nitrate, phosphate, organic salts such as methanesulfonate, benzenesulfonate, paratoluenesulfonate, acetate, propionate, tartrate, fumarate, maleate, malate, oxalate, succinate, citrate, benzoate, mandelate, cinnamate, lactate.

Compounds of the present invention may form tautomers such as keto-enol tautomers depending on the substituents. Such tautomers are also included in the present invention.

50 Examples of the present compounds are shown in the following tables 1 to 7 (in the tables, P.S. indicates the position of the substituent:



10 as X, Y or Z; and C for X, Y or Z represents -CH=).

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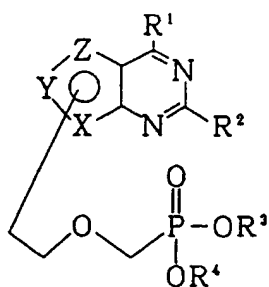


Table 1

| Comp. No. | R¹ | R² | R³           | R⁴           | X | Y | Z | P.S. |
|-----------|----|----|--------------|--------------|---|---|---|------|
| 1         | -H | -H | -CH₃         | -CF₂CF₃      | N | C | N | X    |
| 2         | -H | -H | -CH₃         | -CF₂CF₃      | N | C | N | Z    |
| 3         | -H | -H | -CH₂         | -CH₂CF₃      | N | C | N | X    |
| 4         | -H | -H | -CH₂         | -CH₂CF₃      | N | C | N | Z    |
| 5         | -H | -H | -CF₂CF₃      | -CF₂CF₃      | N | C | N | X    |
| 6         | -H | -H | -CF₂CF₃      | -CF₂CF₃      | N | C | N | Z    |
| 7         | -H | -H | -CF₂CF₃      | -CH₂CF₃      | N | C | N | X    |
| 8         | -H | -H | -CF₂CF₃      | -CH₂CF₃      | N | C | N | Z    |
| 9         | -H | -H | -CH₂CF₃      | -CH₂CF₃      | N | C | N | X    |
| 10        | -H | -H | -CH₂CF₃      | -CH₂CF₃      | N | C | N | Z    |
| 11        | -H | -H | -CH₂CH₂OCH₃  | -CH₂CF₃      | N | C | N | X    |
| 12        | -H | -H | -CH₂CH₂OCH₃  | -CH₂CF₃      | N | C | N | Z    |
| 13        | -H | -H | -CH₂CH₂OCH₃  | -CH₂CH₂OCH₃  | N | C | N | X    |
| 14        | -H | -H | -CH₂CH₂OCH₃  | -CH₂CH₂OCH₃  | N | C | N | Z    |
| 15        | -H | -H | -CH₂CH₂OC₂H₅ | -CH₂CH₂OC₂H₅ | N | C | N | X    |
| 16        | -H | -H | -CH₂CH₂OC₂H₅ | -CH₂CH₂OC₂H₅ | N | C | N | Z    |
| 17        | -H | -H | -CH₂CH₂OC₃H₇ | -CH₂CH₂OC₃H₇ | N | C | N | X    |
| 18        | -H | -H | -CH₂CH₂OC₃H₇ | -CH₂CH₂OC₃H₇ | N | C | N | Z    |
| 19        | -H | -H | -CH₂CH₂OC₆H₅ | -CH₂CF₃      | N | C | N | X    |
| 20        | -H | -H | -CH₂CH₂OC₆H₅ | -CH₂CF₃      | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 2 1          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 2          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 3          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 4          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 2 5          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 2 6          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 2 7          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 8          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 9          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 0          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 3 1          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 3 2          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 3 3          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 3 4          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 5          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 3 6          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 3 7          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 8          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 9          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 0          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 4 1          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 4 2          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 3          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 4 4          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 4 5          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 4 6          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 4 7          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 4 8          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 4 9          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 5 0          | -H             | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 5 1          | -H             | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 10 5 2       | -H             | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 3          | -H             | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 15 5 4       | -H             | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 5          | -H             | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 20 5 6       | -H             | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 7          | -H             | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 8          | -H             | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 25 5 9       | -H             | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 0          | -H             | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 30 6 1       | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 2          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 35 6 3       | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 6 4          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 40 6 5       | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 6 6          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 6 7          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 45 6 8       | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 6 9          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 50 7 0       | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 7 1          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 7 2          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 7 3          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 7 4          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 7 5          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 7 6          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 7 7          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 7 8          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 7 9          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 8 0          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 8 1          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 8 2          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 8 3          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 8 4          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 8 5          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 8 6          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 8 7          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 8 8          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 8 9          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 9 0          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 9 1          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 9 2          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 9 3          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 9 4          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 9 5          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 9 6          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 9 7          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 9 8          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 9 9          | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 1 0 0        | -H             | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp. No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|-----------|----------------|------------------|---|---|---|---|---|------|
| 1 0 1     | -H             | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 0 2     | -H             | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 0 3     | -H             | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 0 4     | -H             | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 0 5     | -H             | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 0 6     | -H             | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 0 7     | -H             | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 0 8     | -H             | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 0 9     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 1 0     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 1 1     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 1 2     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 1 3     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 1 1 4     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 1 1 5     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 1 1 6     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 1 1 7     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 1 1 8     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 1 1 9     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 2 0     | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 1 2 1        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1 2 2        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1 2 3        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1 2 4        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 1 2 5        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 1 2 6        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 1 2 7        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1 2 8        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1 2 9        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1 3 0        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 1 3 1        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 1 3 2        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 1 3 3        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 1 3 4        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 1 3 5        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 1 3 6        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 1 3 7        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1 3 8        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1 3 9        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1 4 0        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 1 4 1        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 1 4 2        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 1 4 3        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 1 4 4        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 1 4 5        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 1 4 6        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 1 4 7        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 1 4 8        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 1 4 9        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 1 5 0        | -H             | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 1 5 1        | -OH            | -H             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 5 2        | -OH            | -H             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 5 3        | -OH            | -H             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 5 4        | -OH            | -H             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 5 5        | -OH            | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 5 6        | -OH            | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 5 7        | -OH            | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 5 8        | -OH            | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 5 9        | -OH            | -H             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 6 0        | -OH            | -H             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 6 1        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 6 2        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 1 6 3        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 1 6 4        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 1 6 5        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 1 6 6        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 1 6 7        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 1 6 8        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 1 6 9        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 1 7 0        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P. S. |
|--------------|----------------|----------------|---|---|---|---|---|-------|
| 1 7 1        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X     |
| 1 7 2        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z     |
| 1 7 3        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X     |
| 1 7 4        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z     |
| 1 7 5        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X     |
| 1 7 6        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z     |
| 1 7 7        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X     |
| 1 7 8        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z     |
| 1 7 9        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X     |
| 1 8 0        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z     |
| 1 8 1        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X     |
| 1 8 2        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z     |
| 1 8 3        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X     |
| 1 8 4        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z     |
| 1 8 5        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X     |
| 1 8 6        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z     |
| 1 8 7        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X     |
| 1 8 8        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z     |
| 1 8 9        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X     |
| 1 9 0        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z     |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 1 9 1        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 1 9 2        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 1 9 3        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 1 9 4        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 1 9 5        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 1 9 6        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 1 9 7        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 1 9 8        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 1 9 9        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 2 0 0        | -OH            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 2 0 1        | -OH            | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 0 2        | -OH            | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 0 3        | -OH            | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 0 4        | -OH            | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 0 5        | -OH            | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 0 6        | -OH            | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 0 7        | -OH            | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 0 8        | -OH            | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 0 9        | -OH            | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 1 0        | -OH            | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 1 1        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 1 2        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 1 3        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 2 1 4        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 2 1 5        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 2 1 6        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 2 1 7        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 2 1 8        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 2 1 9        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 2 0        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 2 2 1        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 2 2        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 2 3        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 2 4        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 2 2 5        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 2 2 6        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 2 2 7        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 2 8        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 2 9        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 3 0        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 2 3 1        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 2 3 2        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 2 3 3        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 2 3 4        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 2 3 5        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 2 3 6        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 2 3 7        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 3 8        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 3 9        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 4 0        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P. S. |
|--------------|----------------|----------------|---|---|---|---|---|-------|
| 2 4 1        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X     |
| 2 4 2        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>6</sub> | N | C | N | Z     |
| 2 4 3        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X     |
| 2 4 4        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z     |
| 2 4 5        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X     |
| 2 4 6        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z     |
| 2 4 7        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X     |
| 2 4 8        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z     |
| 2 4 9        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X     |
| 2 5 0        | -OH            | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z     |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 2 5 1        | -OH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 5 2        | -OH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 5 3        | -OH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 5 4        | -OH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 5 5        | -OH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 5 6        | -OH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 5 7        | -OH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 5 8        | -OH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 5 9        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 6 0        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 6 1        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 6 2        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 2 6 3        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 2 6 4        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 2 6 5        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 2 6 6        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 2 6 7        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 2 6 8        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 2 6 9        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 2 7 0        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 2 7 1        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 7 2        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 7 3        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 7 4        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 2 7 5        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 2 7 6        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 2 7 7        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 7 8        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 7 9        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 8 0        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 2 8 1        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 2 8 2        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 2 8 3        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 2 8 4        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 2 8 5        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 2 8 6        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 2 8 7        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 2 8 8        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 2 8 9        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 2 9 0        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 2 9 1        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 2 9 2        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 2 9 3        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 2 9 4        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 2 9 5        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 2 9 6        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 2 9 7        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 2 9 8        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 2 9 9        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 3 0 0        | -OH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 0 1        | -NH <sub>2</sub> | -H             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 0 2        | -NH <sub>2</sub> | -H             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 0 3        | -NH <sub>2</sub> | -H             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 0 4        | -NH <sub>2</sub> | -H             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 0 5        | -NH <sub>2</sub> | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 0 6        | -NH <sub>2</sub> | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 0 7        | -NH <sub>2</sub> | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 0 8        | -NH <sub>2</sub> | -H             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 0 9        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 1 0        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 1 1        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 1 2        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 1 3        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 3 1 4        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 3 1 5        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 3 1 6        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 1 7        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 3 1 8        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 3 1 9        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 2 0        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 2 1        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 2 2        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 2 3        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 2 4        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 3 2 5        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 3 2 6        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 3 2 7        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 2 8        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 2 9        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 3 0        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 3 3 1        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 3 3 2        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 3 3 3        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 3 3 4        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 3 5        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 3 3 6        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 3 3 7        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 3 8        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 3 9        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 4 0        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 4 1        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 3 4 2        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 4 3        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 3 4 4        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 3 4 5        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 3 4 6        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 3 4 7        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 3 4 8        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 3 4 9        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 3 5 0        | -NH <sub>2</sub> | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 5 1        | -NH <sub>2</sub> | -I             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 5 2        | -NH <sub>2</sub> | -I             | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 5 3        | -NH <sub>2</sub> | -I             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 5 4        | -NH <sub>2</sub> | -I             | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 5 5        | -NH <sub>2</sub> | -I             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 5 6        | -NH <sub>2</sub> | -I             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 5 7        | -NH <sub>2</sub> | -I             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 5 8        | -NH <sub>2</sub> | -I             | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 5 9        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 6 0        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 6 1        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 6 2        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 3 6 3        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 3 6 4        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 3 6 5        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 3 6 6        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 6 7        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 3 6 8        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 3 6 9        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 3 7 0        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 7 1        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 7 2        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 7 3        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 7 4        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 3 7 5        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 3 7 6        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 3 7 7        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 7 8        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 7 9        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 8 0        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 3 8 1        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 3 8 2        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 3 8 3        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 3 8 4        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 8 5        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 3 8 6        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 3 8 7        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 3 8 8        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 3 8 9        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 3 9 0        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 3 9 1        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 3 9 2        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 3 9 3        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 3 9 4        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 3 9 5        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 3 9 6        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 3 9 7        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 3 9 8        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |
| 3 9 9        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 4 0 0        | -NH <sub>2</sub> | -I             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 4 0 1        | -NH <sub>2</sub> | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 0 2        | -NH <sub>2</sub> | -OH            | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 0 3        | -NH <sub>2</sub> | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 0 4        | -NH <sub>2</sub> | -OH            | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 0 5        | -NH <sub>2</sub> | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 0 6        | -NH <sub>2</sub> | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 0 7        | -NH <sub>2</sub> | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 0 8        | -NH <sub>2</sub> | -OH            | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 0 9        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 1 0        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 1 1        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 1 2        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 1 3        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 4 1 4        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 4 1 5        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 4 1 6        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 1 7        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 4 1 8        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 4 1 9        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 2 0        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 4 2 1        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 2 2        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 2 3        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 2 4        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 4 2 5        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 4 2 6        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 4 2 7        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 2 8        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 2 9        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 3 0        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 4 3 1        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 4 3 2        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 4 3 3        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 4 3 4        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 3 5        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 4 3 6        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 4 3 7        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 3 8        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 3 9        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 4 0        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|----------------|---|---|---|---|---|------|
| 4 4 1        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 4 4 2        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 4 3        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 4 4 4        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 4 4 5        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 4 4 6        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 4 4 7        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 4 4 8        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 4 4 9        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 4 5 0        | -NH <sub>2</sub> | -OH            | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 4 5 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 5 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 5 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 5 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 5 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 5 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 5 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 5 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 5 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 6 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 6 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 6 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 4 6 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 4 6 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 4 6 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 4 6 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 6 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 4 6 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 4 6 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 4 7 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 4 7 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 7 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 7 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 7 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 4 7 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 4 7 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 4 7 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 7 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 7 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 8 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 4 8 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 4 8 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 4 8 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 4 8 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 8 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 4 8 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 4 8 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 4 8 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 4 8 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 4 9 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 4 9 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 4 9 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 4 9 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 4 9 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 4 9 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 4 9 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 4 9 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 4 9 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 4 9 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 5 0 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 5 0 1        | -C 1           | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 0 2        | -C 1           | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 0 3        | -C 1           | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 0 4        | -C 1           | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 0 5        | -C 1           | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 0 6        | -C 1           | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 0 7        | -C 1           | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 0 8        | -C 1           | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 0 9        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 1 0        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 1 1        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 1 2        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 1 3        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 5 1 4        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 5 1 5        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 5 1 6        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 5 1 7        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 5 1 8        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 5 1 9        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 2 0        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 5 2 1        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 2 2        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 2 3        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 2 4        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 5 2 5        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 5 2 6        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 5 2 7        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 2 8        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 2 9        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 3 0        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 5 3 1        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 5 3 2        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 5 3 3        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 5 3 4        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 5 3 5        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 5 3 6        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 5 3 7        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 3 8        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 3 9        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 4 0        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 5 4 1        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 5 4 2        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 5 4 3        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 5 4 4        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 5 4 5        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 5 4 6        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 5 4 7        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 5 4 8        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 5 4 9        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 5 5 0        | -C 1           | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 5 5 1        | -C 1           | -C 1           | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 10 5 5 2     | -C 1           | -C 1           | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 5 3        | -C 1           | -C 1           | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 15 5 5 4     | -C 1           | -C 1           | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 5 5        | -C 1           | -C 1           | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 20 5 5 6     | -C 1           | -C 1           | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 5 5 7        | -C 1           | -C 1           | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 5 8        | -C 1           | -C 1           | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 25 5 5 9     | -C 1           | -C 1           | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 6 0        | -C 1           | -C 1           | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 30 5 6 1     | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 5 6 2        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 35 5 6 3     | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 5 6 4        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 40 5 6 5     | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 5 6 6        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 45 5 6 7     | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 5 6 8        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 5 6 9        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 50 5 7 0     | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 5 7 1        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 7 2        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 7 3        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 7 4        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 5 7 5        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 5 7 6        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 5 7 7        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 7 8        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 7 9        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 8 0        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 5 8 1        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 5 8 2        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 5 8 3        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 5 8 4        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 5 8 5        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 5 8 6        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 5 8 7        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 5 8 8        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 5 8 9        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 5 9 0        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 5 9 1        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 5 9 2        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 5 9 3        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 5 9 4        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 5 9 5        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 5 9 6        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 5 9 7        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 5 9 8        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 5 9 9        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 6 0 0        | -C 1           | -C 1           | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 6 0 1        | -SH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 0 2        | -SH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 0 3        | -SH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 0 4        | -SH            | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 0 5        | -SH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 0 6        | -SH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 0 7        | -SH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 0 8        | -SH            | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 0 9        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 1 0        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 1 1        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 1 2        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 6 1 3        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 6 1 4        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 6 1 5        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 6 1 6        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 6 1 7        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 6 1 8        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 6 1 9        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 6 2 0        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 6 2 1        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 6 2 2        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 6 2 3        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 6 2 4        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 6 2 5        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 6 2 6        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 6 2 7        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 6 2 8        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 6 2 9        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 6 3 0        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 6 3 1        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 6 3 2        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 6 3 3        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 6 3 4        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 6 3 5        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 6 3 6        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 6 3 7        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 6 3 8        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 6 3 9        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 6 4 0        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|------------------|---|---|---|---|---|------|
| 6 4 1        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 6 4 2        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 6 4 3        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 6 4 4        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 6 4 5        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 6 4 6        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 6 4 7        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 6 4 8        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 6 4 9        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 6 5 0        | -SH            | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>                                    | R <sup>4</sup>                                    | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 6 5 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 5 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 5 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 5 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 5 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 5 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 5 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 5 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 5 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 6 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 6 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 6 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 6 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 6 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 6 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 6 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 6 6 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 6 6 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 6 6 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | N | N | N | X    |
| 6 7 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | N | N | N | Y    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 6 7 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Z    |
| 6 7 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | X    |
| 6 7 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | Y    |
| 6 7 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | Z    |
| 6 7 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | X    |
| 6 7 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | Y    |
| 6 7 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | Z    |
| 6 7 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | X    |
| 6 7 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Y    |
| 6 8 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Z    |
| 6 8 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | X    |
| 6 8 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Y    |
| 6 8 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Z    |
| 6 8 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 6 8 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 6 8 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 6 8 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | X    |
| 6 8 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Y    |
| 6 8 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Z    |
| 6 9 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | X    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 6 9 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Y    |
| 6 9 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Z    |
| 6 9 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | X    |
| 6 9 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Y    |
| 6 9 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Z    |
| 6 9 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | X    |
| 6 9 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | Y    |
| 6 9 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | Z    |
| 6 9 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 7 0 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 7 0 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 7 0 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | X    |
| 7 0 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | Y    |
| 7 0 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | Z    |
| 7 0 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | X    |
| 7 0 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Y    |
| 7 0 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Z    |
| 7 0 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | X    |
| 7 0 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Y    |
| 7 1 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Z    |



Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 7 1 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 7 1 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 7 1 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 7 1 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | X    |
| 7 1 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | Y    |
| 7 1 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | Z    |
| 7 1 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | X    |
| 7 1 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | Y    |
| 7 1 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | Z    |
| 7 2 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | X    |
| 7 2 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | Y    |
| 7 2 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | Z    |
| 7 2 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | X    |
| 7 2 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | Y    |
| 7 2 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | Z    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 7 2 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 2 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 2 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 2 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 3 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 3 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 3 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 3 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 3 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 3 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 3 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 3 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 7 3 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | C | X    |
| 7 3 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | C | Y    |
| 7 4 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | C | X    |
| 7 4 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | C | Y    |
| 7 4 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | C | X    |
| 7 4 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | C | Y    |
| 7 4 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 7 4 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |

Table 1 (Continued)

| Comp. No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|-----------|------------------|------------------|---|---|---|---|---|------|
| 7 4 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 7 4 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 7 4 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 7 4 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |
| 7 5 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | X    |
| 7 5 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | Y    |
| 7 5 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 7 5 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 7 5 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 7 5 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |
| 7 5 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | C | X    |
| 7 5 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | C | Y    |
| 7 5 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | X    |
| 7 5 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | Y    |
| 7 6 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | X    |
| 7 6 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | Y    |
| 7 6 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 7 6 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 7 6 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 7 6 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |

Table 1 (Continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 7 6 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | X    |
| 7 6 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | Y    |
| 7 6 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | C | X    |
| 7 6 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | C | Y    |
| 7 7 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | C | X    |
| 7 7 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | C | Y    |
| 7 7 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | C | X    |
| 7 7 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | C | Y    |
| 7 7 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | C | X    |
| 7 7 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | C | Y    |

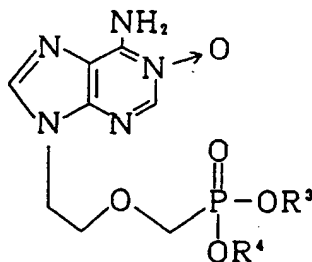


Table 2

| Comp. No. | R <sup>3</sup>  | R <sup>4</sup>  |
|-----------|---|---|
| 776       | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 777       | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 778       | -CF <sub>2</sub> CF <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 779       | -CF <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 780       | -CH <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 781       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 782       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 783       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               |
| 784       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               |
| 785       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 786       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 787       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 788       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 789       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 790       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 791       | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |
| 792       | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> |
| 793       | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 794       | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 795       | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |

Table 2 (Continued)

| Comp.<br>No. | R <sup>3</sup>                                     | R <sup>4</sup>  |
|--------------|--|---|
| 7 9 6        | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}_6\text{H}_5$ |
| 7 9 7        | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$                 |
| 7 9 8        | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_2\text{H}_5$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_2\text{H}_5$        |
| 7 9 9        | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_3\text{H}_7$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_3\text{H}_7$        |
| 8 0 0        | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_4\text{H}_9$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_4\text{H}_9$        |

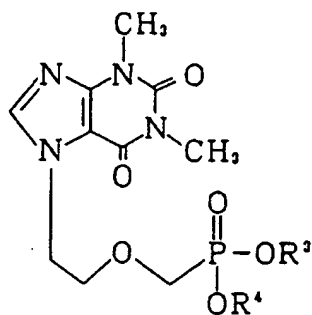


Table 3

| Comp. No. | R <sup>3</sup>  | R <sup>4</sup>  |
|-----------|---|---|
| 8 0 1     | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 8 0 2     | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 0 3     | -CF <sub>2</sub> CF <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 8 0 4     | -CF <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 0 5     | -CH <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 0 6     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 0 7     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 8 0 8     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               |
| 8 0 9     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               |
| 8 1 0     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 1 1     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 8 1 2     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 8 1 3     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 1 4     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 8 1 5     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 8 1 6     | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |
| 8 1 7     | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> |
| 8 1 8     | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 8 1 9     | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 8 2 0     | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |

Table 3 (Continued)

| Comp.<br>No. | R <sup>3</sup>   | R <sup>4</sup>   |
|--------------|--|--|
| 8 2 1        | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}_6\text{H}_5$        |
| 8 2 2        | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          |
| 8 2 3        | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ |
| 8 2 4        | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ |
| 8 2 5        | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ |



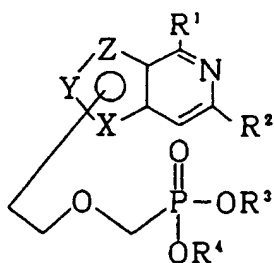


Table 4

| Comp. No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>                                    | R <sup>4</sup>                                    | X | Y | Z | P.S. |
|-----------|------------------|------------------|---|---|---|---|---|------|
| 8 2 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 2 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 2 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 2 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 3 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 3 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>                                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 3 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 3 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 3 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CF <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 3 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 3 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 3 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 3 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 3 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 4 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 4 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | X    |
| 8 4 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Y    |
| 8 4 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CF <sub>3</sub>                  | N | N | N | Z    |
| 8 4 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | N | N | N | X    |
| 8 4 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | N | N | N | Y    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 8 4 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Z    |
| 8 4 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | X    |
| 8 4 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | Y    |
| 8 4 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | N | Z    |
| 8 5 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | X    |
| 8 5 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | Y    |
| 8 5 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | N | Z    |
| 8 5 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | X    |
| 8 5 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Y    |
| 8 5 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Z    |
| 8 5 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | X    |
| 8 5 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Y    |
| 8 5 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | Z    |
| 8 5 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 8 6 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 8 6 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                 | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 8 6 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | X    |
| 8 6 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Y    |
| 8 6 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | N | Z    |
| 8 6 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | N | X    |

Table 4 (continued)

| Comp. No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|-----------|------------------|------------------|---|---|---|---|---|------|
| 8 6 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Y    |
| 8 6 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Z    |
| 8 6 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | X    |
| 8 6 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Y    |
| 8 7 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Z    |
| 8 7 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | X    |
| 8 7 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | Y    |
| 8 7 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | N | Z    |
| 8 7 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 8 7 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 8 7 6     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 8 7 7     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | X    |
| 8 7 8     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | Y    |
| 8 7 9     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | N | Z    |
| 8 8 0     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | X    |
| 8 8 1     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Y    |
| 8 8 2     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | N | Z    |
| 8 8 3     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | X    |
| 8 8 4     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Y    |
| 8 8 5     | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | N | Z    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 8 8 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | X    |
| 8 8 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Y    |
| 8 8 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | N | Z    |
| 8 8 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | X    |
| 8 9 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | Y    |
| 8 9 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | N | Z    |
| 8 9 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | X    |
| 8 9 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | Y    |
| 8 9 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | N | Z    |
| 8 9 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | X    |
| 8 9 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | Y    |
| 8 9 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | N | Z    |
| 8 9 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | X    |
| 8 9 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | Y    |
| 9 0 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | N | Z    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 0 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 0 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 0 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 0 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 0 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 0 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 0 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 0 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 0 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 1 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 1 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 1 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |
| 9 1 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | C | X    |
| 9 1 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | N | C | Y    |
| 9 1 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | C | X    |
| 9 1 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | N | C | Y    |
| 9 1 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | C | X    |
| 9 1 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | N | C | Y    |
| 9 1 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | X    |
| 9 2 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | N | C | Y    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 2 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 9 2 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 9 2 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 9 2 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |
| 9 2 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | X    |
| 9 2 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | Y    |
| 9 2 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 9 2 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 9 2 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 9 3 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |
| 9 3 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | C | X    |
| 9 3 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | N | C | Y    |
| 9 3 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | X    |
| 9 3 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | Y    |
| 9 3 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | X    |
| 9 3 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | N | C | Y    |
| 9 3 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | X    |
| 9 3 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | N | C | Y    |
| 9 3 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | X    |
| 9 4 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | N | C | Y    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 4 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | X    |
| 9 4 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | N | C | Y    |
| 9 4 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | C | X    |
| 9 4 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | N | C | Y    |
| 9 4 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | C | X    |
| 9 4 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | N | C | Y    |
| 9 4 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | C | X    |
| 9 4 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | N | C | Y    |
| 9 4 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | C | X    |
| 9 5 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | N | C | Y    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 5 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 5 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 5 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 5 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 5 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 5 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CF <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 5 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 5 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CF <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 5 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 6 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 6 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 6 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |
| 9 6 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | X    |
| 9 6 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | N | C | N | Z    |
| 9 6 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | X    |
| 9 6 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | N | C | N | Z    |
| 9 6 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | X    |
| 9 6 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> | N | C | N | Z    |
| 9 6 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | X    |
| 9 7 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CF <sub>3</sub>                                | N | C | N | Z    |



Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 7 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 9 7 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 9 7 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 9 7 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 9 7 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 9 7 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 9 7 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 9 7 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 9 7 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 9 8 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 9 8 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 9 8 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 9 8 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 9 8 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 9 8 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 9 8 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 9 8 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 9 8 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 9 8 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 9 9 0        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 4 (continued)

| Comp.<br>No. | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|------------------|------------------|---|---|---|---|---|------|
| 9 9 1        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 9 9 2        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 9 9 3        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 9 9 4        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 9 9 5        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 9 9 6        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 9 9 7        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 9 9 8        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 9 9 9        | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 1 0 0 0      | -NH <sub>2</sub> | -NH <sub>2</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

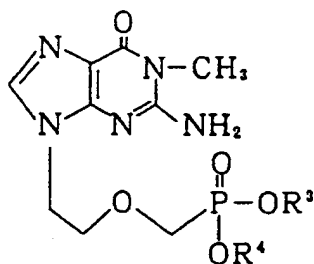


Table 5

| Comp. No. | R <sup>3</sup>  | R <sup>4</sup>  |
|-----------|---|---|
| 1001      | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1002      | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1003      | -CF <sub>2</sub> CF <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1004      | -CF <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1005      | -CH <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1006      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1007      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1008      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               |
| 1009      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               |
| 1010      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1011      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1012      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1013      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1014      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1015      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1016      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |
| 1017      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> |
| 1018      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1019      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1020      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |

Table 5 (Continued)

| Comp.<br>No. | R <sup>3</sup>   | R <sup>4</sup>   |
|--------------|--|--|
| 1 0 2 1      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}_6\text{H}_5$        |
| 1 0 2 2      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          |
| 1 0 2 3      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ |
| 1 0 2 4      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ |
| 1 0 2 5      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ |

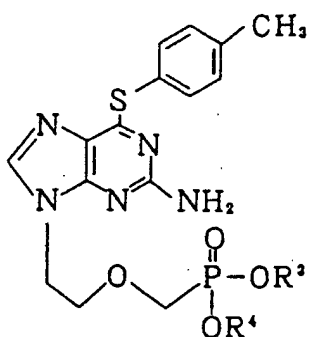


Table 6

| Comp. No. | R <sup>3</sup>  | R <sup>4</sup>  |
|-----------|---|---|
| 1026      | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1027      | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1028      | -CF <sub>2</sub> CF <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1029      | -CF <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1030      | -CH <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1031      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1032      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1033      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               |
| 1034      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               |
| 1035      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1036      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1037      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1038      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1039      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1040      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1041      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |
| 1042      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> |
| 1043      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1044      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1045      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |

Table 6 (Continued)

| Comp.<br>No. | R <sup>3</sup>                                     | R <sup>4</sup>  |
|--------------|--|---|
| 1 0 4 6      | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}_6\text{H}_5$ |
| 1 0 4 7      | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OC(O)CH}_3$                 |
| 1 0 4 8      | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_2\text{H}_5$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_2\text{H}_5$        |
| 1 0 4 9      | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_3\text{H}_7$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_3\text{H}_7$        |
| 1 0 5 0      | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_4\text{H}_9$ | $-\text{CH}_2\text{CH}_2\text{OC(O)C}_4\text{H}_9$        |

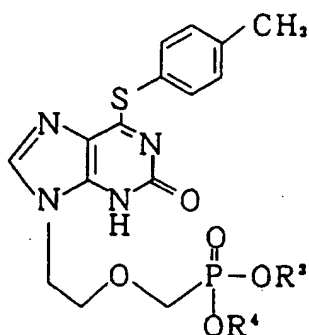


Table 7

| Comp. No. | R <sup>3</sup>  | R <sup>4</sup>  |
|-----------|---|---|
| 1051      | -CH <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1052      | -CH <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1053      | -CF <sub>2</sub> CF <sub>3</sub>  | -CF <sub>2</sub> CF <sub>3</sub>  |
| 1054      | -CF <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1055      | -CH <sub>2</sub> CF <sub>3</sub>  | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1056      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1057      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1058      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                               |
| 1059      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub>                               |
| 1060      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1061      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1062      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1063      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1064      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   |
| 1065      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1066      | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |
| 1067      | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> |
| 1068      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  |
| 1069      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               |
| 1070      | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               |

Table 7 (Continued)

| Comp.<br>No. | R <sup>3</sup>   | R <sup>4</sup>   |
|--------------|--|--|
| 1 0 7 1      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}_6\text{H}_5$        |
| 1 0 7 2      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{CH}_3$          |
| 1 0 7 3      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_2\text{H}_5$ |
| 1 0 7 4      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_3\text{H}_7$ |
| 1 0 7 5      | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ | $-\text{CH}_2\text{CH}_2\text{OC}(\text{O})\text{C}_4\text{H}_9$ |



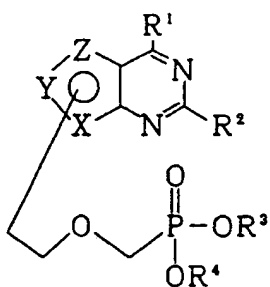


Table 1

| Comp. No. | R¹  | R² | R³           | R⁴           | X | Y | Z | P.S. |
|-----------|-----|----|--------------|--------------|---|---|---|------|
| 1076      | -C1 | -H | -CH₃         | -CF₂CF₃      | N | C | N | X    |
| 1077      | -C1 | -H | -CH₃         | -CF₂CF₃      | N | C | N | Z    |
| 1078      | -C1 | -H | -CH₃         | -CH₂CF₃      | N | C | N | X    |
| 1079      | -C1 | -H | -CH₃         | -CH₂CF₃      | N | C | N | Z    |
| 1080      | -C1 | -H | -CF₂CF₃      | -CF₂CF₃      | N | C | N | X    |
| 1081      | -C1 | -H | -CF₂CF₃      | -CF₂CF₃      | N | C | N | Z    |
| 1082      | -C1 | -H | -CF₂CF₃      | -CH₂CF₃      | N | C | N | X    |
| 1083      | -C1 | -H | -CF₂CF₃      | -CH₂CF₃      | N | C | N | Z    |
| 1084      | -C1 | -H | -CH₂CF₃      | -CH₂CF₃      | N | C | N | X    |
| 1085      | -C1 | -H | -CH₂CF₃      | -CH₂CF₃      | N | C | N | Z    |
| 1086      | -C1 | -H | -CH₂CH₂OCH₃  | -CH₂CF₃      | N | C | N | X    |
| 1087      | -C1 | -H | -CH₂CH₂OCH₃  | -CH₂CF₃      | N | C | N | Z    |
| 1088      | -C1 | -H | -CH₂CH₂OCH₃  | -CH₂CH₂OCH₃  | N | C | N | X    |
| 1089      | -C1 | -H | -CH₂CH₂OCH₃  | -CH₂CH₂OCH₃  | N | C | N | Z    |
| 1090      | -C1 | -H | -CH₂CH₂OC₂H₅ | -CH₂CH₂OC₂H₅ | N | C | N | X    |
| 1091      | -C1 | -H | -CH₂CH₂OC₂H₅ | -CH₂CH₂OC₂H₅ | N | C | N | Z    |
| 1092      | -C1 | -H | -CH₂CH₂OC₃H₇ | -CH₂CH₂OC₃H₇ | N | C | N | X    |
| 1093      | -C1 | -H | -CH₂CH₂OC₃H₇ | -CH₂CH₂OC₃H₇ | N | C | N | Z    |
| 1094      | -C1 | -H | -CH₂CH₂OC₄H₉ | -CH₂CF₃      | N | C | N | X    |
| 1095      | -C1 | -H | -CH₂CH₂OC₄H₉ | -CH₂CF₃      | N | C | N | Z    |

Table 1 (Continued)

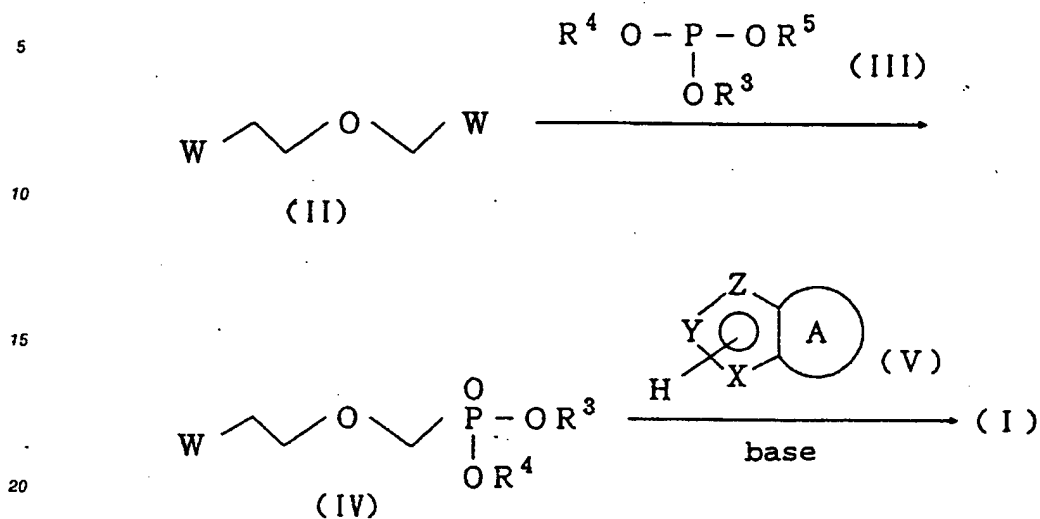
| Comp.<br>No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|--------------|----------------|----------------|---|---|---|---|---|------|
| 1096         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1097         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1098         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1099         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 1100         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 1101         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 1102         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1103         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1104         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1105         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |
| 1106         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | X    |
| 1107         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>               | N | C | N | Z    |
| 1108         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 1109         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 1110         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | X    |
| 1111         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CF <sub>3</sub>  | N | C | N | Z    |
| 1112         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | X    |
| 1113         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>   | N | C | N | Z    |
| 1114         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | X    |
| 1115         | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>   | -CH <sub>2</sub> CH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>                               | N | C | N | Z    |

Table 1 (Continued)

| Comp. No. | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>  | R <sup>4</sup>  | X | Y | Z | P.S. |
|-----------|----------------|----------------|---|---|---|---|---|------|
| 1116      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | X    |
| 1117      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub> | N | C | N | Z    |
| 1118      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | X    |
| 1119      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>               | -CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                           | N | C | N | Z    |
| 1120      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | X    |
| 1121      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>2</sub> H <sub>5</sub>             | N | C | N | Z    |
| 1122      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | X    |
| 1123      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>3</sub> H <sub>7</sub>             | N | C | N | Z    |
| 1124      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | X    |
| 1125      | -C1            | -H             | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub> | -CH <sub>2</sub> CH <sub>2</sub> OC(O)C <sub>4</sub> H <sub>9</sub>             | N | C | N | Z    |

The compound of the present invention may be synthesized according to the following reaction scheme (1) or (2):

## Reaction Scheme (I):



25 (wherein,  $R^1$  to  $R^4$ , and a ring A are as defined above;  $R^5$  is an ethyl group having one or more substituents selected from a group consisting of fluorine,  $C_1$ - $C_4$  alkoxy, phenoxy,  $C_7$ - $C_{10}$  phenylalkoxy,  $C_2$ - $C_5$  acyloxy,  $C_1$ - $C_4$  acylamino and hydroxyl; W is a leaving group such as halogen, paratoluenesulfonyloxy, methanesulfonyloxy, trifluoromethanesulfonyloxy).

30 A compound of Formula (II) is reacted with a compound of Formula (III) at 10 - 250 °C, preferably at 130 - 180 °C for 0.1 - 20 hours, preferably for 3 - 15 hours.

A compound of Formula (IV) may be separated and purified, as needed, by the conventional means for separation and purification, for example, by distillation, adsorption, partition chromatography. A compound of Formula (IV) may be separated and purified as described above, but may be directly used in the subsequent reaction without purification.

35 Subsequently, a compound of Formula (IV) is reacted with a compound of Formula (V) in the presence of a base, for example, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, triethylamine, diazabicycloundecene in a solvent such as acetonitrile, tetrahydrofuran, dimethylsulfoxide, dimethylformamide, methylpyrrolidone at 10 - 200 °C, preferably at 50 - 150 °C, for 0.1 to 100 hours, preferably for 5 - 20 hours to give a compound (I).

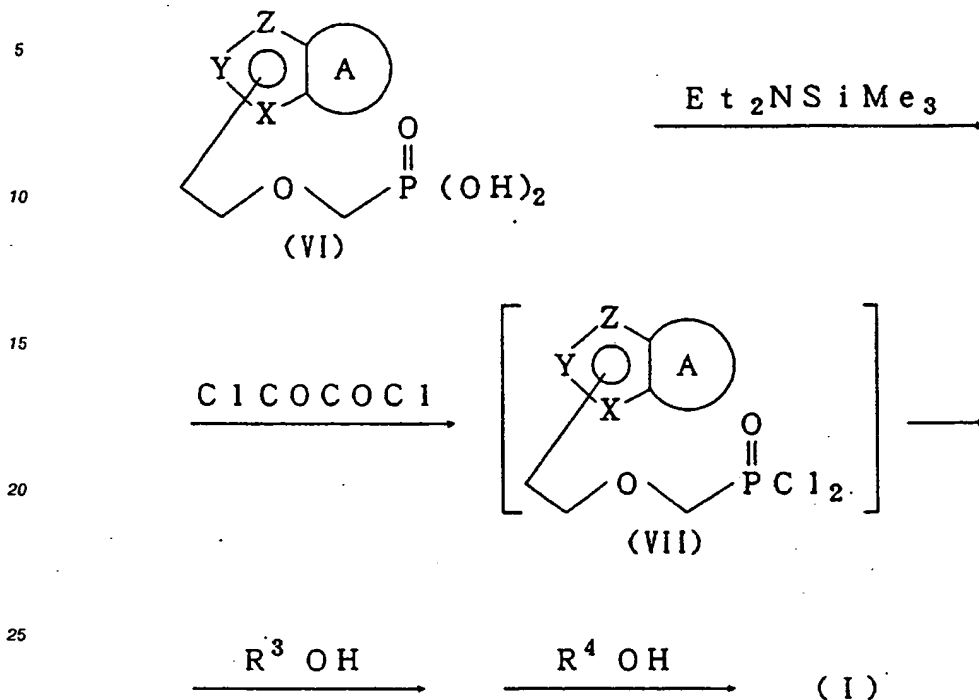
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Reaction Scheme (2):



(wherein,  $R^1$  to  $R^4$ , and a ring A are as defined above; Me is methyl and Et is ethyl)

A compound of Formula (VI) is reacted with trimethylsilyldiethylamine in a solvent, for example, in a chlorinated solvent such as dichloromethane, dichloroethane, chloroform at the temperature around room temperature for about an hour. In this case, two moles or more trimethylsilyldiethylamine is used based on one mole of a compound of Formula (VI).

Subsequently, after the reaction mixture is concentrated to dryness, the residue is dissolved in a chlorinated solvent such as dichloromethane, and two mole or more oxalyl chloride is added to 1 mole of the compound of Formula (VI), and the reaction is carried out in the presence of a catalytic amount of dimethylformamide under ice cooling for about an hour, then at the temperature around room temperature for about an hour.

After a solvent is distilled off, thus obtained compound of Formula (VII) without purification is usually reacted with  $R^3OH$ ,  $R^4OH$  in a solvent, for example, a chlorinated solvent such as dichloromethane or pyridine, acetonitrile, tetrahydrofuran, dimethylsulfoxide, dimethylformamide, methylpyrrolidone, etc. at 10 - 100 °C, preferably at 20 - 30 °C for 0.1 - 100 hours, preferably for 5 - 24 hours to give a compound (I).

A compound of Formula (I) which may be obtained according to the above reaction scheme (1) or (2) may be separated and purified by properly selecting conventional means for separation and purification for nucleotide, for example, recrystallization, adsorption, ion-exchange, partition chromatography or the like, as needed. Various base derivatives may be derived from thus obtained compound of Formula (I) according to the known methods, as needed.

As the compound of Formula (II), (III) or (VI) in the above reaction schemes, those commercially available reagents may be purchased and used. Alternatively, those synthesized according to the known methods may be suitably used.

As shown in the following experimental examples, the compound of the present invention may be expected as antiviral agents which can be orally administered, and further expected to possess antineoplastic activity like other ionic phosphonate-nucleotide analogs. The viruses of interest may not be particularly limited, but include, for example, RNA viruses such as human immunodeficiency virus, influenza virus, hepatitis C virus; DNA viruses such as herpes simplex virus type-I, herpes simplex virus type-II, cytomegalovirus, herpes zoster, hepatitis B virus. More preferably, it is hepatitis B virus.

The compound of the present invention can be orally administered to a human patient. The dose is appropriately determined depending on, for example, the age, the conditions, the weight of the subject. Generally, 1 - 1,000 mg/kg, preferably 5 - 50 mg/kg is administered once or more daily.

The compound of the present invention is preferably used as a composition comprising pharmaceutically acceptable carrier such as conventional pharmaceutical carrier, excipient, etc. Such carrier may be either solid or liquid. Solid carrier includes, for example, lactose, kaolin, sucrose, crystalline cellulose, corn starch, talc, agar, pectin, stearic acid, magnesium stearate, lecithin, sodium chloride; and liquid carrier includes, for example, glycerin, peanut oil, polyvinyl pyrrolidone, olive oil, ethanol, benzyl alcohol, propylene glycol, physiological saline, water, etc.

Various dosage form may be employed, including tablets, powders, granules, troches, etc. when a solid carrier is used; and syrups, soft gelatin capsules, gels, pastes, etc. when a liquid carrier is used.

#### Example

The present invention will be explained in detail in the following examples, which are not a limitation of the scope of the invention.

#### Example 1

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]adenine (compound No. 309 in Table 1)

2-Chloroethylchloromethylether (1.96 g, 15.2 mmol) was reacted with tris(2,2,2-trifluoroethyl)phosphite (5 g, 15.2 mmol) at 160 °C for 14 hours to quantitatively obtain 5.15 g of 2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl chloride.

Adenine (2.07 g, 15.3 mmol) was suspended in dimethylformamide (30 ml) and reacted with sodium hydride (60 % in mineral oil, 0.61 g) at 100 °C for an hour. Subsequently, 2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl chloride (5.15 g) was added to the above reaction solution and reacted at 100 °C for 5 hours. After reaction was over, the product was cooled to room temperature and concentrated to dryness. The residue was dissolved in chloroform, adsorbed on silica gel column and eluted with 5 % methanol/chloroform to give the title compound (2.77 g, 42 %).

m.p.: 111 - 113 °C (ethyl acetate/hexane)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.91 (d, J = 8.0Hz, 2H) 3.94 (t, J = 5.0Hz, 2H) 4.30-4.39 (m, 6H) 6.00 (br, 2H) 7.83 (s, 1H) 8.31 (s, 1H)

#### Example 2

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2,6-diaminopurine (compound No. 459 in Table 1)

The procedure in Example 1 was repeated, except that 2,6-diaminopurine was used instead of adenine, to obtain the title compound.

m.p.: 108 °C (ether)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.91-3.95 (m, 4H) 4.24 (t, J = 5.1Hz, 2H) 4.30-4.42 (m, 4H) 4.68 (br, 2H) 5.32 (br, 2H) 7.57 (s, 1H)

#### Example 3

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2-amino-6-chloropurine (compound No. 509 in Table 1)

The procedure in Example 1 was repeated, except that 2-amino-6-chloropurine was used instead of adenine, to obtain the title compound.

m.p.: 132 °C (ether)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.91 (t, J = 4.7Hz, 2H) 3.94 (d, J = 7.6Hz, 2H) 4.30 (t, J = 4.7Hz, 2H) 4.35-4.49 (m, 4H) 5.16 (br, 2H) 7.83 (s, 1H)

## Example 4

Production of 7-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2-amino-6-chloropurine (compound No. 510 in Table 1)

The procedure in Example 1 was repeated, except that 2-amino-6-chloropurine was used instead of adenine, to obtain the title compound.

m.p.: amorphous

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.93 (t, J=5.1Hz, 2H) 3.94 (d, J=7.7Hz, 2H) 4.24 (t, J=5.1Hz, 2H) 4.31-4.42 (m, 4H) 4.66 (br, 2H) 5.27 (br, 2H) 7.56 (s, 1H)

## Example 5

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-8-aza-2,6-diaminopurine (compound No. 663 in Table 1)

The procedure in Example 1 was repeated, except that 8-aza-2,6-diaminopurine was used instead of adenine, to obtain the title compound.

m.p.: 169 °C (ethanol)

<sup>1</sup>H-NMR (Me<sub>2</sub>SO-d<sub>6</sub>, δ): 3.98 (t, J=5.1Hz, 2H) 4.11 (d, J=7.8Hz, 2H) 4.46-4.86 (m, 6H) 6.38 (br, 2H) 7.18-8.00 (m, 2H)

## Example 6

Production of 8-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-8-aza-2,6-diaminopurine (compound No. 664 in Table 1)

The procedure in Example 1 was repeated, except that 8-aza-2,6-diaminopurine was used instead of adenine, to obtain the title compound.

m.p.: 128 °C (diisopropyl ether)

<sup>1</sup>H-NMR (Me<sub>2</sub>SO-d<sub>6</sub>, δ): 4.03-4.15 (m, 4H) 4.55-4.71 (m, 4H) 6.05 (br, 2H) 7.50 (br, 2H)

## Example 7

Production of 7-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]theophylline (compound No. 805 in Table 3)

The procedure in Example 1 was repeated, except that theophylline was used instead of adenine, to obtain the title compound.

m.p.: 77 °C (hexane)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.41 (s, 3H) 3.60 (s, 3H) 3.93 (d, J=8.1Hz, 2H) 3.94 (t, J=5.0Hz, 2H) 4.31-4.48 (m, 4H) 4.52 (t, J=5.0Hz, 2H) 7.60 (s, 1H)

## Example 8

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2,6-dichloropurine (compound No. 559 in Table 1)

The procedure in Example 1 was repeated, except that 2,6-dichloropurine was used instead of adenine, to obtain the title compound.

m.p.: 71-72 °C (ethyl acetate/hexane)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.90-4.08 (m, 4H) 4.32-4.52 (m, 6H) 8.19 (s, 1H)

## Example 9

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-3-deaza-8-aza-2,6-diaminopurine (compound No. 838 in Table 4)

The procedure in Example 1 was repeated, except that 3-deaza-8-aza-2,6-diaminopurine was used instead of adenine, to obtain the title compound.

m.p.: 116 - 122 °C (ether)

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.94 (t, J=5.2Hz, 2H) 4.09 (d, J=7.7Hz, 2H) 4.46-4.78 (m, 6H) 5.55 (s, 2H) 5.57 (s, 1H) 6.66 (s, 2H)

## Example 10

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-7-deaza-8-aza-2,6-diaminopurine (compound No. 734 in Table 1)

The procedure in Example 1 was repeated, except that 7-deaza-8-aza-2,6-diaminopurine was used instead of adenine, to obtain the title compound.

m.p.: 54 - 64 °C (ether)

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.91 (t, J=5.3Hz, 2H) 4.07 (d, J=8.0Hz, 2H) 4.27 (t, J=5.3Hz, 2H) 4.52-4.78 (m, 4H) 8.00 (s, 1H)

## Example 11

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-6-chloropurine (compound No. 1,084 in Table 1)

The procedure in Example 1 was repeated, except that 6-chloropurine was used instead of adenine, to obtain the title compound.

m.p.: oil

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.95 (d, J=7.8Hz, 2H) 4.00 (t, J=4.9Hz, 2H) 4.34-4.48 (m, 4H) 4.52 (t, J=4.9Hz, 2H) 8.20 (s, 1H) 8.75 (s, 1H)

## Example 12

Production of 9-[2-[methyl(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]adenine (compound No. 303 in Table 1)

The compound obtained in Example 1 (1 g, 2.3 mmol) was dissolved in methanol (10 ml), to which was added silica gel (5 g). After reaction at 50 °C for 7 hours, the product was concentrated to dryness. The residue was eluted with 5 % methanol/chloroform to obtain the title compound (0.75 g, 88%).

m.p.: 107 - 110 °C (ethyl acetate/hexane)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.74 (d, J=11.1Hz, 3H) 3.83 (d, J=8.3Hz, 2H) 3.93 (t, J=4.1Hz, 2H) 4.30-4.39 (m, 4H) 5.65 (br, 2H) 7.86 (s, 1H) 8.33 (s, 1H)

## Example 13

Production of 9-[2-[methyl(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2,6-diaminopurine (compound No. 453 in Table 1)

The procedure in Example 9 was repeated, except that the compound obtained from Example 2 was used instead of that obtained from Example 1, to obtain the title compound.

m.p.: amorphous

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 3.77 (d, J=11.0Hz, 3H) 3.86 (d, J=8.2Hz, 2H) 3.91 (t, J=5.0Hz, 2H) 4.24 (t, J=4.1Hz, 2H) 4.25-4.42 (m, 2H) 4.69 (br, 2H) 5.35 (br, 2H) 7.60 (s, 1H)



## Example 14

Production of 9-[[2-bis(2-methoxyethyl)phosphonylmethoxy]ethyl]adenine (compound No. 313 in Table 1)

- 5 9-[(2-Phosphonylmethoxy)ethyl]adenine (1 g, 3.5 mmol) was suspended in dichloromethane (10 ml) and reacted with trimethylsilyldiethylamine (3 ml) at room temperature for an hour and concentrated to dryness. The residue was dissolved in dichloromethane (10 ml), to which were added dimethylformamide (0.05 ml) and oxalyl chloride (0.9 ml). The mixture was reacted under ice-cooling for an hour, then at room temperature for an hour. After solvent was distilled off, the residue was dissolved in pyridine (20 ml) and  
 10 reacted with 2-methoxyethanol (0.76 g) at room temperature for 12 hours. After concentration to dryness, the residue was dissolved in chloroform, adsorbed on silica gel column, eluted with 5 % methanol/chloroform to give the title compound (0.3 g, 22%).

m.p.: 90 - 93 °C (ethyl acetate/hexane)

- 1H-NMR (CDCl<sub>3</sub>, δ): 3.35 (s, 6H) 3.55 (d, J=4.6Hz, 4H) 3.86 (d, J=8.2Hz, 2H) 3.95 (t, J=4.9Hz, 2H) 4.16-4.19 (m, 4H) 4.40 (t, J=4.9Hz, 2H) 5.67 (br, 2H) 7.98 (s, 1H) 8.35 (s, 1H)  
 15

## Example 15

- 20 Production of 9-[[2-bis(2-phenoxyethyl)phosphonylmethoxy]ethyl]adenine (compound No. 323 in Table 1)

The procedure in Example 11 was repeated, except that 2-phenoxyethanol was used instead of 2-methoxyethanol, to obtain the title compound.

m.p.: 112 - 115 °C (hexane)

- 25 1H-NMR (CDCl<sub>3</sub>, δ): 3.88 (t, J=4.8Hz, 2H) 3.95 (d, J=8.0Hz, 2H) 4.07 (t, J=4.4Hz, 4H) 4.21-4.26 (m, 4H) 4.30 (t, J=4.8Hz, 2H) 5.55 (br, 2H) 6.85-6.92 (m, 6H) 7.26 (t, J=7.4Hz, 4H) 8.06 (s, 1H) 8.12 (s, 1H)

## Example 16

- 30 Production of 9-[[2-bis(2-benzyloxyethyl)phosphonylmethoxy]ethyl]adenine (compound No. 331 in Table 1)

The procedure in Example 11 was repeated, except that 2-benzyloxyethanol was used instead of 2-methoxyethanol to obtain the title compound.

- 35 m.p.: 45 - 48 °C (hexane)

1H-NMR (CDCl<sub>3</sub>, δ): 3.61 (d, J=4.6Hz, 4H) 3.81 (d, J=8.1Hz, 2H) 3.84 (t, J=5.0Hz, 2H) 4.17-4.23 (m, 4H) 4.30 (t, J=5.0Hz, 2H) 4.51 (s, 4H) 5.49 (br, 2H) 7.29-7.33 (m, 10H) 7.91 (s, 1H) 8.35 (s, 1H)

- 40 Example 17

Production of 9-[[2-bis(2-acetoxyethyl)phosphonylmethoxy]ethyl]adenine (compound No. 343 in Table 1)

- 45 The procedure in Example 11 was repeated, except that 2-acetoxyethanol was used instead of 2-methoxyethanol, to obtain the title compound.

m.p.: 68 - 70 °C (ethyl acetate/hexane)

1H-NMR (CDCl<sub>3</sub>, δ): 2.08 (s, 6H) 3.84 (d, J=8.3Hz, 2H) 3.95 (t, J=4.9Hz, 2H) 4.22-4.26 (m, 8H) 4.42 (t, J=4.9Hz, 2H) 5.63 (br, 2H) 7.94 (s, 1H) 8.36 (s, 1H)

- 50 Example 18

Production of 9-[[2-bis(2-valeryloxyethyl)phosphonylmethoxy]ethyl]adenine (compound No. 349 in Table 1)

- 55 The procedure in Example 11 was repeated, except that 2-valeryloxyethanol was used instead of 2-methoxyethanol to obtain the title compound.

m.p.: oil

1H-NMR (CDCl<sub>3</sub>, δ): 0.91 (t, J=7.5Hz, 6H) 1.36 (qt, J=7.5Hz, 4H) 1.60 (tt, J=7.5Hz, 4H) 2.33 (t, J=7.5Hz, 4H) 3.83 (d, J=8.1Hz, 2H) 3.95 (t, J=5.0Hz, 2H) 4.21-4.25 (m, 8H)

4.41 (t, J=5.0Hz, 2H) 5.73 (br, 2H) 7.94 (s, 1H) 8.35 (s, 1H)

#### Example 19

- 5 Production of 9-[2-bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2-iodoadenine (compound No. 359 in Table 1)

The procedure in Example 11 was repeated, except that 2,2,2-trifluoroethanol and 9-[(2-phosphonylmethoxy)ethyl]-2-iodoadenine were used instead of 2-methoxyethanol and 9-[(2-phosphonylmethoxy)ethyl]-adenine, respectively, to obtain the title compound.

m.p.: 179 °C (CHCl<sub>3</sub>)

1H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.88 (t, J=5.0Hz, 2H) 4.13 (d, J=8.0Hz, 2H) 4.28 (t, J=5.0Hz, 2H) 4.56-4.70 (m, 4H) 7.63 (br, 2H) 7.99 (s, 1H)

#### 15 Example 20

Production of 9-[2-bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]guanine (compound No. 259 in Table 1)

The procedure in Example 1 was repeated, except that 6-O-benzylguanine, which can be synthesized by the known method, was used instead of adenine, to obtain 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-6-O-benzylguanine.

The compound (2.21 g, 4.07 mmol) was dissolved in ethanol (20 ml), to which were added cyclohexene (20 ml) and 20 % palladium hydroxide carbon (1.5 g), and the mixture was reacted under reflux for 2 hours. After palladium hydroxide carbon was removed by filtration, the solution was concentrated to dryness. The residue was dissolved in chloroform, adsorbed on a silica gel column and eluted with 5 % methanol/chloroform to obtain the title compound (1.01 g, 55 %).

m.p.: 214 °C (ethanol)

1H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.86 (t, J=5.1Hz, 2H) 4.13 (d, J=8.1Hz, 2H) 4.17 (t, J=5.0Hz, 2H) 4.58-4.70 (m, 4H) 6.61 (br, 2H) 8.06 (s, 1H) 10.88 (br, 1H)

#### 30 Example 21

Production of 7-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]guanine (compound No. 260 in Table 1)

Guanosine (1 g, 3.53 mmol) was suspended in dimethylacetamide (10 ml), to which was added 2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl iodide (1.7 g), and the reaction was carried out at 100 °C for 2 hours. The reaction solution was concentrated to dryness, and the residue was dissolved in 30 % methanol/water, adsorbed on an octadecyl silica gel column, eluted with 30 % methanol/water to give the title compound (0.1 g, 6.3 %).

m.p.: 255 °C (H<sub>2</sub>O)

1H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.89 (t, J=5.0Hz, 2H) 4.10 (d, J=8.0Hz, 2H) 4.40 (t, J=5.0Hz, 2H) 4.57-4.70 (m, 4H) 6.34 (br, 2H) 8.09 (s, 1H) 10.95 (br, 1H)

#### 45 Example 22

Production of 9-[2-bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]adenine-1-N-oxide (compound No. 780 in Table 2)

The compound in Example 1 (8.12 g, 18.6 mmol) was dissolved in chloroform (150 ml), to which was added m-chloroperbenzoic acid (15 g), and reacted at 50 °C for 2 hours. The separated precipitate was removed by filtration, then adsorbed on a silica gel column and eluted with 5 % methanol/chloroform to give the title compound (3.42 g, 42 %).

m.p.: 186 °C (ethyl acetate)

55 1H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.88 (t, J=5.0Hz, 2H) 4.10 (d, J=8.0Hz, 2H) 4.36 (t, J=5.0Hz, 2H) 4.52-4.66 (m, 4H) 8.18 (s, 1H) 8.56 (s, 1H)

## Example 23

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-6-thioguanine (compound No. 609 in Table 1)

5

The compound in Example 3 (800 mg, 1.7 mmol) was dissolved in ethanol (15 ml), to which was added thiourea (157 mg) and reacted under reflux for 4 hours. After reaction was over, the mixture was cooled to room temperature and concentrated to dryness. The residue was dissolved in chloroform, adsorbed on a silica gel column and eluted with 5 % methanol/chloroform to give the title compound (252 mg, 32 %).

10

m.p.: 144 °C (ethanol)

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ) : 3.80 (t, J=5.1Hz, 2H) 4.06-4.16 (m, 4H) 4.49-4.68 (m, 4H) 6.73 (br, 2H) 7.76 (s, 1H)

## Example 24

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Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2-amino-6-p-toluythiopurine (compound No. 1,030 in Table 6)

The compound in Example 3 (9.4 mg, 20 mmol) was dissolved in DMF (90 ml). p-Thiocresol (5.23 g) and triethylamine (2.8 ml) were added at room temperature, and the mixture was reacted at 100 °C for 4 hours. After reaction was over, the reaction mixture was cooled to room temperature and concentrated to dryness. The residue was dissolved in chloroform, adsorbed on a silica gel column and eluted with chloroform to give the title compound (9.8 g, 88 %).

20

m.p.: oil

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ) : 2.40 (s, 3H) 3.89-3.96 (m, 4H) 4.26 (d, J=5.1Hz, 2H) 4.39-4.47 (m, 4H) 4.79 (br, 2H) 7.23 (d, J=9.8Hz, 2H) 7.31 (d, J=9.8Hz, 2H) 7.71 (s, 1H)

25

## Example 25

Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-2-hydroxy-6-p-toluythiopurine (compound No. 1,055 in Table 7)

The compound in Example 21 (6.9 mg, 12.3 mmol) was dissolved in 50 % aqueous acetic acid (120 ml). Sodium nitrite (12 g) was added thereto, and the mixture was reacted at 50 °C for 1 hour. After reaction was over, the reaction mixture was cooled to room temperature and concentrated to dryness. The residue was partitioned between chloroform and aqueous sodium bicarbonate, and the chloroform layer was dried on magnesium sulfate and filtered. The filtrate was concentrated to dryness, crystallized from ether to give the title compound (2.31 g, 34 %).

35

m.p.: 176 °C (ether)

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ) : 2.33 (s, 3H) 3.85 (t, J=5.1Hz, 2H) 4.01 (d, J=8.0Hz, 2H) 4.25 (d, J=5.1Hz, 2H) 4.53-4.69 (m, 4H) 7.24 (d, J=8.1Hz, 2H) 7.43 (d, J=8.1Hz, 2H) 8.05 (s, 1H) 11.58 (br, 1H)

40

## Example 26

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Production of 9-[2-[bis(2,2,2-trifluoroethyl)phosphonylmethoxy]ethyl]-1-methylguanine (compound No. 1,005 in Table 5)

The compound in Example 20 (500 mg, 1.1 mmol) was dissolved in DMF (7 ml), and reacted with potassium carbonate (150 mg), molecular sieves (0.4 nm, 100 mg) and methyl iodide (203 mg) at room temperature for 2 hours. The reaction solution was filtered and concentrated to dryness. The residue was dissolved in chloroform, adsorbed on a silica gel column and eluted with 5 % methanol/chloroform to give the title compound (30 mg, 5.8 %).

50

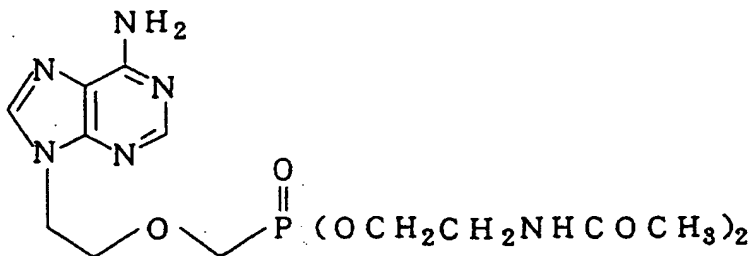
m.p.: oil

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ) : 3.27 (s, 3H) 3.80 (d, J=5.0Hz, 2H) 4.05-4.11 (m, 4H) 4.52-4.68 (m, 4H) 6.98 (br, 2H) 7.59 (s, 1H)

55

## Reference Example 1

Production of 9-[[2-bis(2-acetamidethyl)phosphonylmethoxy]ethyl]adenine



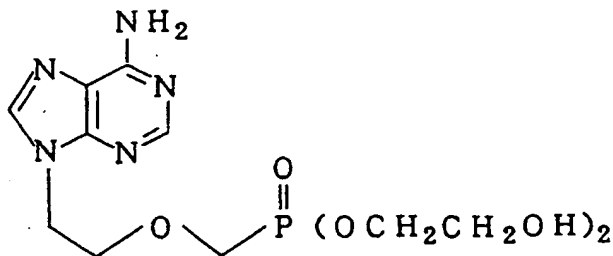
The procedure in Example 11 was repeated, except that 2-acetamide ethanol was used instead of 2-methoxyethanol, to obtain the title compound.

m.p.: oil

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, δ): 2.02 (s, 6H) 3.41-3.53 (m, 4H) 3.81 (d, J=8.5Hz, 2H) 3.94 (t, J=4.9Hz, 2H) 3.97-4.21 (m, 4H) 4.43 (t, J=4.9Hz, 2H) 6.18 (br, 2H) 6.77 (br, 2H) 8.00 (s, 1H) 8.34 (s, 1H)

## Reference Example 2

Production of 9-[[2-bis(2-hydroxyethyl)phosphonylmethoxy]ethyl]adenine



The compound obtained from Example 13 (1 g, 1.9 mmol) was dissolved in ethanol, 10 % palladium-carbon (0.1 g) was added and reacted at 60 °C for 7 hours under hydrogen atmosphere. After palladium-carbon was removed by filtration, the solution was concentrated to dryness. The residue was dissolved in chloroform, adsorbed on a silica gel column, eluted with 5 % methanol/chloroform to give the title compound (0.38 g, 55 %).

m.p.: 102 - 104 °C (ethyl acetate)

<sup>1</sup>H-NMR (Me<sub>2</sub> SO-d<sub>6</sub>, δ): 3.50 (q, J=3.9Hz, 4H) 3.86-3.96 (m, 8H) 4.32 (t, J=5.1Hz, 2H) 4.85 (t, J=5.6Hz, 2H) 7.21 (br, 2H) 8.09 (s, 1H) 8.13 (s, 1H)

## Experiment 1

## Inhibition of HBV growth

HB611 cells (recombinant human liver cancer cell producing HBV, 2x10<sup>4</sup>) was incubated on Dulbecco ME medium containing bovine fetal serum, streptomycin (100 mg/ml), penicillin (100 IU/ml) and G-418 (0.2 mg/ml) at 37 °C. On the 2nd and 5th days of cultivation, the medium was changed, then the media

containing specimens at final concentration of 10 mM were substituted on the 8th, 11th and 14th days. On 17 days of cultivation, DNA of the cell was recovered. The amount of HBV-DNA was measured by southern blotting, and inhibition of HBV-DNA synthesis in the cell was determined. In addition, the concentration of the compound required for 50 % death of the HB611 cells was determined. The results are shown in the following Table 8.

Table 8

| Compound            | Inhibition of HBV-DNA Synthesis(%) | LD <sub>50</sub> of HB611 cell (μM) |
|---------------------|------------------------------------|-------------------------------------|
| Example 1           | 91.5                               | >1000                               |
| Example 2           | 99.9                               | 840                                 |
| Example 3           | 99.9                               | 399                                 |
| Example 5           | 97.2                               | -                                   |
| Example 12          | 86.3                               | >1000                               |
| Example 13          | 100                                | >1000                               |
| Example 14          | 55.0                               | >1000                               |
| Example 15          | 59.7                               | 174                                 |
| Example 16          | 57.8                               | 178                                 |
| Example 17          | 66.2                               | >1000                               |
| Example 18          | 73.4                               | 47                                  |
| Example 20          | 99.9                               | -                                   |
| Example 21          | 71.3                               | -                                   |
| Example 22          | 76.2                               | -                                   |
| Example 23          | 86.1                               | -                                   |
| Example 24          | 99.9                               | -                                   |
| Example 25          | 99.9                               | -                                   |
| Example 26          | 99.9                               | -                                   |
| Reference Example 1 | -                                  | >1000                               |
| Reference Example 2 | 31.0                               | >1000                               |

## Experiment 2

## Inhibition of HBV growth in rat or mouse serum upon oral administration

Groups of rats (3 rats per group) were received single oral dose of specimen (1 g/kg or 0.5 g/kg), bled at 1 hour after administration and serum was prepared. Separately, groups of mice (3 mice per group) were received single oral dose of specimen (0.3 g/kg), bled at 30 minutes after administration and serum was prepared.

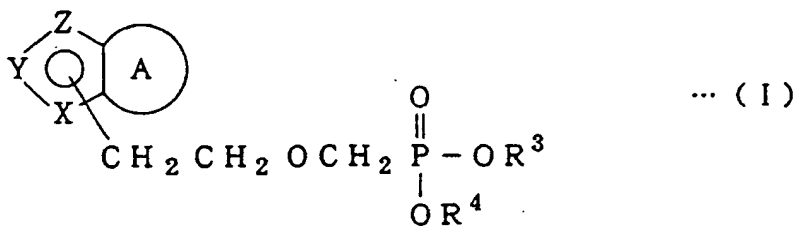
HB611 cells ( $2 \times 10^4$ ) were incubated on Dulbecco ME medium containing 10 % bovine fetal serum, streptomycin (100 mg/ml), penicillin (100 IU/ml) and G-418 (0.2 mg/ml) at 37 °C. On the 2nd and 5th days of cultivation, the medium was changed, then substituted with a medium containing 5 % of the above serum (rat or mouse serum after oral administration of the specimen) on the 8th, 11th and 14th day, and DNA of the cell was recovered on the 17th days of cultivation. The amount of HBV-DNA was measured by southern blotting, and intracellular HBV-DNA synthesis inhibition was determined. For reference, the same experiment was conducted on PMEA. The results are shown in the following Table 9.

Table 9

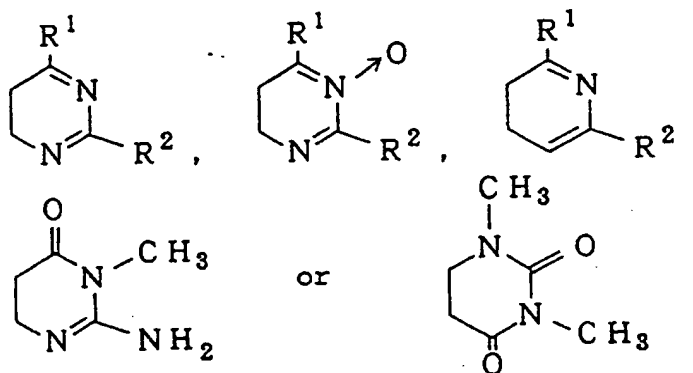
| Compound            | Subject | Oral Dosage (g/kg) | HBV-DNA Synthesis Inhibition(%) |
|---------------------|---------|--------------------|---------------------------------|
| Example 1           | Rat     | 1                  | 89.9                            |
| Example 2           | Rat     | 1                  | 71.9                            |
| Example 3           | Mouse   | 0.3                | 99.9                            |
| Example 4           | Mouse   | 0.3                | 36.3                            |
| Example 5           | Mouse   | 0.3                | 87.2                            |
| Example 12          | Rat     | 1                  | 92.9                            |
| Example 13          | Rat     | 1                  | 77.7                            |
| Example 14          | Rat     | 0.5                | 25.4                            |
| Example 15          | Rat     | 0.5                | 38.5                            |
| Example 16          | Rat     | 0.5                | 43.6                            |
| Example 18          | Rat     | 0.5                | 61.4                            |
| Example 20          | Mouse   | 0.3                | 99.9                            |
| Example 22          | Mouse   | 0.3                | 15.2                            |
| Reference Example 1 | Rat     | 0.5                | 0                               |
| Reference Example 2 | Rat     | 0.5                | 0                               |
| PMEA                | Rat     | 1                  | 35.5                            |

## Claims

1. A phosphonate-nucleotide ester derivative of the following general formula (I):



wherein ring A represents

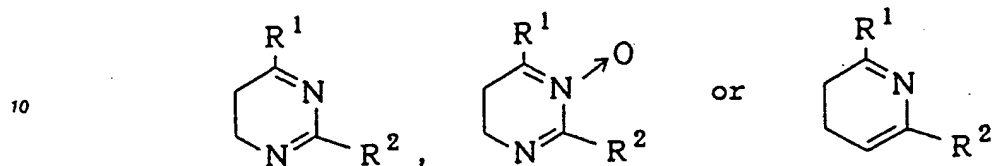


wherein R<sup>1</sup> and R<sup>2</sup> independently represent hydrogen, halogen, hydroxyl, mercapto, C<sub>6</sub>-C<sub>10</sub> arylthio or amino; R<sup>3</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or ethyl having one or more substituents selected from the group consisting of fluorine, C<sub>1</sub>-C<sub>4</sub> alkoxy, phenoxy, C<sub>7</sub>-C<sub>10</sub> phenylalkoxy and C<sub>2</sub>-C<sub>5</sub> acyloxy; R<sup>4</sup> represents ethyl having one or more substituents selected from the group consisting of fluorine, C<sub>1</sub>-C<sub>4</sub> alkoxy, phenoxy, C<sub>7</sub>-C<sub>10</sub> phenylalkoxy and C<sub>2</sub>-C<sub>5</sub> acyloxy; X, Y and Z independently represent methyne or

nitrogen atom; or a pharmaceutically acceptable salt thereof.

2. A compound according to Claim 1, wherein the ring A is

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15 wherein  $R^1$  and  $R^2$  are as defined in Claim 1.

3. A compound according to Claim 1, wherein the ring A is

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wherein  $R^1$  is hydrogen, chlorine, hydroxyl, mercapto, tolylthio or amino;  $R^2$  is hydrogen, chlorine, iodine, hydroxyl or amino.

- 30 4. A compound according to Claim 1, wherein the ring A is

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wherein  $R^1$  is amino;  $R^2$  is hydrogen.

- 45 5. A compound according to Claim 1, wherein the ring A is

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wherein  $R^1$  and  $R^2$  are amino.

6. A compound according to Claim 1, wherein  $R^3$  is  $C_1$ - $C_3$  alkyl, 2,2,2-trifluoroethyl or ethyl having a substituent selected from the group consisting of  $C_1$ - $C_3$  alkoxy, phenoxy,  $C_7$ - $C_{10}$  phenylalkoxy and  $C_2$ -

C<sub>5</sub> acyloxy.

7. A compound according to Claim 1, wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl or 2,2,2-trifluoroethyl.
- 5 8. A compound according to claim 1, wherein R<sup>4</sup> is 2,2,2-trifluoroethyl or ethyl having a substituent selected from a group consisting of C<sub>1</sub>-C<sub>3</sub> alkoxy, phenoxy, C<sub>7</sub>-C<sub>10</sub> phenylalkoxy and C<sub>2</sub>-C<sub>5</sub> acyloxy.
9. A compound according to Claim 1, wherein R<sup>4</sup> is 2,2,2-trifluoroethyl.
- 10 10. A compound according to Claim 1, wherein X and Z are nitrogen atoms, X and Y are nitrogen atoms, or X, Y and Z are nitrogen atoms.
11. A pharmaceutical composition which comprises a compound of Claim 1 and a pharmaceutically acceptable carrier.
- 15 12. An antiviral agent containing a compound of Claim 1 as an active ingredient.
13. A method for treatment of viral infection which comprises administering a compound of Claim 1 to a patient infected with a virus.
- 20 14. A method for treatment of Claim 13, wherein the virus is hepatitis B virus.

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European Patent  
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## EUROPEAN SEARCH REPORT

Application Number  
EP 94 10 9742

| DOCUMENTS CONSIDERED TO BE RELEVANT   |   |   |  |
|---|---|---|--|
| Category  | Citation of document with indication, where appropriate, of relevant passages | Relevant to claim                                     | CLASSIFICATION OF THE APPLICATION (Int.Cl.6) |
| Y   | EP-A-0 481 214 (BRISTOL-MYERS SQUIBB CO.)<br>* the whole document *           | 1-14  | C07F9/6561<br>A61K31/675                     |
| Y   | WO-A-92 09611 (BEECHAM GROUP PLC)<br>* the whole document *                   | 1-14  |  |
|   |   |   | TECHNICAL FIELDS<br>SEARCHED (Int.Cl.6)      |
|   |   |   | C07F<br>A61K                                 |
| The present search report has been drawn up for all claims  |   |   |  |
| Place of search<br>THE HAGUE  |   | Date of completion of the search<br>22 September 1994 | Examiner<br>Beslier, L                       |
| <b>CATEGORY OF CITED DOCUMENTS</b><br>X : particularly relevant if taken alone<br>Y : particularly relevant if combined with another document of the same category<br>A : technological background<br>O : non-written disclosure<br>P : intermediate document<br>T : theory or principle underlying the invention<br>E : earlier patent document, but published on, or after the filing date<br>D : document cited in the application<br>I : document cited for other reasons<br>& : member of the same patent family, corresponding document |   |   |  |